

Penicillins

Penicillins		
Brand Name	Moxatag™	N/A
Generic Name	amoxicillin	ampicillin
Rx vs. OTC	RX	RX
Generic Formulation Available?	Yes, except 775 mg extended release tablets (Moxatag™)	Yes
Mechanism of Action/Pharmacology	Inhibits the biosynthesis of cell wall mucopeptide. It has a broad-spectrum bactericidal activity against most strains of aerobic gram-positive and gram-negative microorganisms.	Inhibits the biosynthesis of cell wall mucopeptide. It is clinically effective not only against the gram-positive organisms usually susceptible to penicillin G but also against a variety of gram-negative organisms.
Manufacturer (if single source)	Generic	Generic
Dosage Forms	<ul style="list-style-type: none"> ▪ Capsules <ul style="list-style-type: none"> – 250 mg – 500 mg ▪ Tablets <ul style="list-style-type: none"> – 500 mg – 875 mg ▪ Tablets Extended Release 775 mg ▪ Chewable tablets <ul style="list-style-type: none"> – 125 mg – 250 mg ▪ Oral Suspension <ul style="list-style-type: none"> – 125 mg/5 mL – 200 mg/5 mL – 250 mg/5 mL – 400 mg/5 mL 	<ul style="list-style-type: none"> ▪ Capsules <ul style="list-style-type: none"> – 250 mg – 500 mg ▪ Suspension <ul style="list-style-type: none"> – 125 mg/5 mL – 250 mg/5 mL
Dosing Frequency	1 to 3 times daily	3 to 4 times daily

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FDA Labeled Indications	<ul style="list-style-type: none"> ▪ Ear, nose, and throat infections due to <i>Streptococcus</i> sp. (alpha- and beta-hemolytic strains only), <i>Streptococcus pneumoniae</i>, <i>Staphylococcus</i> sp., or <i>Haemophilus influenzae</i> ▪ Gonorrhea: Gonorrhea, acute uncomplicated (anogenital and urethral infections) due to <i>Neisseria gonorrhoeae</i> (males and females). ▪ GU tract infections: due to <i>Escherichia coli</i>, <i>Proteus mirabilis</i>, or <i>Enterobacter faecalis</i>. ▪ <i>H. pylori</i> infections: <i>H. pylori</i> eradication to reduce the risk of duodenal ulcer recurrence. ▪ Lower respiratory tract infections: due to <i>Streptococcus</i> sp. (alpha- and beta-hemolytic strains only), <i>Streptococcus pneumoniae</i>, <i>Staphylococcus</i> sp. or <i>H. influenzae</i>. ▪ Skin and skin structure infections: due to <i>Streptococcus</i> sp. (alpha- and beta-hemolytic strains only), <i>Staphylococcus</i> sp., or <i>E. coli</i>. ▪ Extended-release tablets for the treatment of tonsillitis and/or pharyngitis secondary to <i>Streptococcus pyogenes</i> in adults and children 12 years of age and older 	<ul style="list-style-type: none"> ▪ Genitourinary tract infections, including gonorrhea due to <i>E. coli</i>, <i>P. mirabilis</i>, enterococci, <i>Shigella</i>, <i>S. typhosa</i> and other <i>Salmonella</i>, and nonpenicillinase-producing <i>N. gonorrhoeae</i>. ▪ Respiratory tract infections due to nonpenicillinase-producing <i>H. influenzae</i> and staphylococci, and streptococci including <i>streptococcus pneumoniae</i>. ▪ GI tract infections due to <i>Shigella</i>, <i>S. typhosa</i> and other <i>Salmonella</i>, <i>E. coli</i>, <i>P. mirabilis</i>, and enterococci. ▪ Meningitis due to <i>N. meningitides</i>. ▪ Endocarditis ▪ Septicemia
Contraindications	Hypersensitivity to penicillins	<ul style="list-style-type: none"> ▪ Hypersensitivity to penicillins ▪ Infections caused by penicillinase-producing organisms
Drug Interactions	Effects of oral contraceptives may be reduced	<ul style="list-style-type: none"> ▪ Effects of oral contraceptives may be reduced ▪ Increased possibility of skin rash if given with allopurinol, particularly in hyperuricemic patients ▪ Probenecid may decrease renal tubular secretion of ampicillin resulting in increased blood levels and/or ampicillin toxicity.

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Major AEs / Warnings	<ul style="list-style-type: none"> ▪ Diarrhea ▪ Immune hypersensitivity type reactions, serious, rare ▪ Nausea ▪ Rash ▪ Vomiting ▪ Warnings: <ul style="list-style-type: none"> – Chewable tablets contain phenylalanine – Hypersensitivity to cephalosporins – Pregnancy B – Pseudomembranous colitis 	<ul style="list-style-type: none"> ▪ Diarrhea ▪ Immune hypersensitivity type reactions, serious, rare ▪ Nausea ▪ Rash ▪ Urticaria ▪ Vomiting ▪ Warnings: <ul style="list-style-type: none"> – Hypersensitivity to cephalosporins – Pregnancy B
Dosage Adjustment in Key Populations	<ul style="list-style-type: none"> ▪ Regular-release formulations <ul style="list-style-type: none"> – CrCl < 30 mL/min, do not give the 875 mg tablet – CrCl 10-30 mL/min, 250 to 500 mg every 12 hours – CrCl < 10 mL/min, 250 to 500 mg every 24 hours – hemodialysis: 250 mg or 500 mg every 24 hours, depending on the severity; additional doses both during and at the end of dialysis ▪ Extended-release tablet <ul style="list-style-type: none"> – CrCl < 30 mL/min, do not give the 775 mg extended-release tablet – hemodialysis: do not use the 775 mg extended-release tablet 	<ul style="list-style-type: none"> ▪ Protein binding: 17-20% ▪ Excretion, Renal 40-92% ▪ T_{1/2} 1-1.9 hours

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General Place in Therapy

Amoxicillin is a semisynthetic penicillin derivative with an antibacterial spectrum essentially identical to that of ampicillin. The drug is active in-vitro against H influenzae, and many strains of E coli. Neither amoxicillin nor ampicillin is effective against indole-positive proteus, Serratia, Pseudomonas or Acinetobacter Klebsiella or Bacteroides fragilis. Amoxicillin, like ampicillin, is not effective against beta-lactamase producing bacteria.

- **Bacterial Endocarditis Prophylaxis:** According to the American Heart Association, amoxicillin is the drug of choice for prophylaxis of bacterial endocarditis for patients who are at risk when undergoing dental, oral, or upper respiratory tract procedures. Amoxicillin is recommended for patients who are at moderate-risk when undergoing genitourinary or gastrointestinal procedures. Amoxicillin orally (post-procedure) in high-risk patients undergoing genitourinary or gastrointestinal procedures is an alternative agent.
- ***Helicobacter pylori* Eradication:** According to the American College of Gastroenterology, a clarithromycin-based triple therapy including clarithromycin, a proton pump inhibitor, and amoxicillin or metronidazole for 14 days; or a bismuth quadruple therapy including bismuth, metronidazole, tetracycline and ranitidine for 10 to 14 days is recommended for the primary treatment of *Helicobacter pylori*.
- **Sinusitis:** In patients with acute bacterial sinusitis, the use of first-line agents (amoxicillin, trimethoprim-sulfamethoxazole) is associated with similar clinical benefits and significant cost savings when compared to second-line agents (fluoroquinolones, azithromycin, clarithromycin, second- and third-generation cephalosporins). Quinolones may have a role for treating highly resistant or multidrug-resistant strains.
- **Tonsillitis and/or Pharyngitis:** The extended-release tablet formulation of amoxicillin is indicated for the treatment of tonsillitis and/or pharyngitis secondary to Streptococcus pyogenes in adults and pediatric patients 12 years and older; however, penicillin VK is still the drug of choice for the treatment of pharyngitis and/or tonsillitis.

- CrCl 10-50 mL/min, change interval to every 6-12 hr
 - CrCl < 10 mL/min, change interval to every 12 hr
- Ampicillin is a semisynthetic penicillin (aminopenicillin) with a spectrum of activity that includes gram-positive and gram-negative organisms. The drug is used parenterally for serious infections including Haemophilus influenzae meningitis. Ampicillin is not effective against indole-positive Proteus, Serratia, Pseudomonas, Acinetobacter, and beta-lactamase producing bacteria.
- **Bacterial Endocarditis Prophylaxis:** Amoxicillin is recommended by the American Heart Association for prophylaxis of bacterial endocarditis for individuals who are at risk undergoing certain types of procedures. Intravenous or intramuscular ampicillin is recommended for individuals unable to take oral medications and undergoing dental, oral, respiratory tract, or esophageal procedures and for individuals at moderate risk and undergoing genitourinary and gastrointestinal procedures. Intravenous or intramuscular ampicillin plus gentamicin is the recommended first line agent in individuals at high-risk and undergoing genitourinary and gastrointestinal procedures.

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Brand Name	N/A	N/A
Generic Name	dicloxacillin	penicillin
Rx vs. OTC	RX	RX
Generic Formulation Available?	Yes	Yes
Mechanism of Action/Pharmacology	A penicillinase-resistant penicillin of the isoxazoly penicillin group. Dicloxacillin is used in the treatment of penicillinase-producing staphylococci and should be reserved for such infections to avoid development of resistance.	Inhibits the biosynthesis of cell wall mucopeptide. It is active against penicillin-sensitive microorganisms including staphylococci (except penicillinase-producing strains), streptococci, and pneumococci.
Manufacturer (if single source)	Generic	Generic
Dosage Forms	<ul style="list-style-type: none"> 250 mg capsules 500 mg capsules 	<ul style="list-style-type: none"> Tablets <ul style="list-style-type: none"> 250 mg 500 mg Suspension <ul style="list-style-type: none"> 125 mg/5 mL 250 mg/5 mL
Dosing Frequency	4 times daily	2 to 4 times daily
FDA Labeled Indications	Staphylococcal infections	<ul style="list-style-type: none"> Streptococcal infections (without bacteremia) such as upper respiratory tract infections, scarlet fever, and mild erysipelas. Streptococci in groups A, C, G, H, L, and M are very sensitive to penicillin. Pneumococcal infections of the respiratory tract, including otitis media Staphylococcal infections-penicillin G-sensitive of the skin and soft tissues Fusospirochetosis (Vincent's gingivitis and pharyngitis) For the prevention of recurrence following rheumatic fever and/or chorea Bacterial endocarditis
Contraindications	Hypersensitivity to penicillins	Hypersensitivity to penicillins

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Drug Interactions	<ul style="list-style-type: none"> ▪ Tetracycline may antagonize the bactericidal effect of dicloxacillin ▪ Dicloxacillin will decrease INR levels if given with warfarin 	N/A
Major AEs / Warnings	<ul style="list-style-type: none"> ▪ Diarrhea ▪ Immune hypersensitivity type reactions, serious, rare ▪ Nausea ▪ Vomiting ▪ Warnings: <ul style="list-style-type: none"> – Hypersensitivity to cephalosporins – Pregnancy B 	<ul style="list-style-type: none"> ▪ Diarrhea ▪ Hemolytic anemia, serious, rare ▪ Immune hypersensitivity type reactions, serious, rare ▪ Nausea ▪ Rash ▪ Vomiting ▪ Warnings: <ul style="list-style-type: none"> – Hypersensitivity to cephalosporins – Pregnancy B – Pseudomembranous colitis
Dosage Adjustment in Key Populations	<ul style="list-style-type: none"> ▪ Protein binding: 88-98% ▪ Excretion, Renal:35-90% ▪ T1/2 42.6-52.8 min 	<ul style="list-style-type: none"> ▪ Bioavailability: 25% ▪ Protein binding: 80% ▪ Excretion: Renal, rapid
General Place in Therapy	N/A	CrCl < 10 mL/min, administer usual dose every 8 hr
	<ul style="list-style-type: none"> ▪ Dicloxacillin is used in the treatment of penicillinase-producing staphylococci and should be reserved for such infections to avoid development of resistance. Oral dicloxacillin is not used for the initial treatment of severe, life-threatening infections, but may be selected for less serious infections that are suspected of being caused by penicillinase-producing Staphylococcus aureus until cultures and sensitivities are available. ▪ Because dicloxacillin has variable activity against methicillin-resistant S aureus, it should not be used to treat serious infections caused by methicillin-resistant strains. 	<ul style="list-style-type: none"> ▪ Penicillin V is used in infections with gram-positive species where oral therapy is effective. Susceptible species include Streptococcus pneumoniae, S pyogenes (group A), S agalactiae (group B), S viridans, S bovis (group D), Staphylococcus aureus (nonpenicillinase), Bacillus anthrax, Corynebacterium diphtheriae, Clostridia, Actinomyces bovis, Streptobacillus moniliformis, Listeria monocytogenes, Leptospira, and Treponema pallidum. ▪ Oral PENICILLIN V is indicated for the treatment of less severe infections by penicillin-susceptible organisms such as streptococcal angina, scarlet fever, dental infections, erysipelas, and for the prophylactic treatment of endocarditis and relapsing rheumatic fever.

Organisms	Drugs			
	amoxicillin	ampicillin	dicloxacillin	penicillin VK
GRAM POSITIVE				
Staphylococci	√ ^a	√ ^a	√	√ ^a
<i>Staphylococcus aureus</i>			√	√ ^a
Streptococci		√		√
<i>Streptococcus pneumoniae</i>	√	√	√	√
Beta-hemolytic streptococci	√	√		√
<i>Enterococcus (Streptococcus) faecalis</i>	√	√		√
<i>Streptococcus viridans</i>	√	√		√
<i>Corynebacterium diphtheriae</i>				√
<i>Bacillus anthracis</i>		√		√
<i>Listeria monocytogenes</i>	√	√		√
GRAM NEGATIVE				
<i>Escherichia coli</i>	√	√		
<i>Haemophilus influenzae</i>	√	√		
<i>Neisseria gonorrhoeae</i>	√	√		√
<i>Neisseria meningitidis</i>		√		
<i>Proteus mirabilis</i>	√	√		
<i>Salmonella</i> sp.		√		
<i>Shigella</i> sp.		√		
<i>Streptobacillus moniliformis</i>				√
ANAEROBIC				
<i>Clostridium</i> sp.	√	√		√
<i>Peptococcus</i> sp.	√	√		√
<i>Peptostreptococcus</i> sp.	√			√
<i>Treponema pallidum</i>				√
<i>Actinomyces bovis</i>				√

^aNonpenicillinase-producing

REFERENCES

1. Facts and Comparisons on-line. Version 4.0; Wolters Kluwer Health, Inc.; 2013. Accessed January 21, 2013.
2. Thompson MICROMEDEX on-line © 1974-2013. Accessed January 21, 2013.
3. www.FDA.gov